## STM-Structure Search 10/25/05

10/743,950

=> d ibib abs hitstr 1-18

ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:673254 CAPLUS

DOCUMENT NUMBER: 143:153074

Preparation of mono- and bis-thioethers for TITLE:

cholesterol management

INVENTOR(S): Basseux, Jean-Louis; Oniciu, Carmen Daniela

PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA

PCT Int. Appl., 255 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
			WO 2003-US41612	
	W: AE, AG, AL,	, AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
	CO, CR, CU	, CZ, DE, DK, DM,	DZ, EC, EE, EG, ES,	FI, GB, GD, GE,
	GH, GM, HR	, HU, ID, IL, IN,	IS, JP, KE, KG, KP,	KR, KZ, LC, LK,
			MG, MK, MN, MW, MX,	
			SC, SD, SE, SG, SK,	
			UZ, VC, VN, YU, ZA,	
			SD, SL, SZ, TZ, UG,	
	BY, KG, KZ	, MD, RU, TJ, TM,	AT, BE, BG, CH, CY,	CZ, DE, DK, EE,
			IT, LU, MC, NL, PT,	
	TR, BF, BJ,	, CF, CG, CI, CM,	GA, GN, GQ, GW, ML,	MR, NE, SN, TD, TG
PRIC	ORITY APPLN. INFO.:		WO 2003-US41612	
AB	Mono- and bis-thioe	ethers W1-Zm-S-G-	S-Zm-W2 [I; $Z = CH2$ ,	CH=CH, phenyl; m =
	1-9; G = (CH2)2-4,	CH2CH=CHCH2, etc	.; W1-2 = divalent al	lkyl, etc.], and
	W1 - (CH2) n - C(R1) (R2)	) - (CH2) m-S-(CH2) n	-C(R11)(R12)-(CH2)n-W	N2 (II; variables
	described in claims	s) are claimed.	Although the methods	of preparation are not
			are included. For in	
			nyl)ethylsulfanyl]-2,	
	dimethylpentanoic a	acid is prepared	in 3 steps from Et 5-	-bromo-2,2-
			l for treating and pr	
			ias, dyslipoproteinem	
	metabolism disorder	rs comprising adm	inistering a composit	ion comprising an ether
	Compound 1-11 are	also useful for	treating and preventi	ing Alzheimer's
	disease, syndrome A	k, peroxisome pro	liferator activated r	receptor-related
			isorders, obesity, pa	
			, inflammation, and i on non-HDL cholestero	
	control in obese fe	reflue levels, g	Tycemic control indic	cators and body weight
IT	412932-76-4P	emale Zuckel lats	are tabulated.	
		ogical activity).	RCT (Reactant); SPN	(Combbotic
	preparation) · THU	(Thereneutic use)	; BIOL (Biological st	-ngal.
	PREP (Preparation)	RACT (Peactant	or reagent); USES (Us	cady,;
	(preparation of	mono- and hig-th	ioethers for choleste	rol monagement
RN				
	412932-76-4 CAPLUS		roceners for enoteste	:ror management)

INDEX NAME)

IT 412937-64-5P 412937-88-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of mono- and bis-thioethers for cholesterol management)

RN 412937-64-5 CAPLUS

CN Pentanoic acid, 5,5'-[1,2-ethanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412937-88-3 CAPLUS

## ●2 Na

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

7

ACCESSION NUMBER:

2005:451346 CAPLUS

DOCUMENT NUMBER:

142:481741

TITLE:

Preparation of sulfoxide and bis-sulfoxide compounds

and compositions for cholesterol management and

related uses

INVENTOR(S):

Dasseux, Jean-Louis; Oniciu, Carmen Daniela

Esperion Therapeutics, Inc., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 251 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND					D -	DATE		APPLICATION NO.					<b>-</b>	DATE		
WO 2005047236				A1 20050526			WO 2003-US41614						20031224			
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
															GD,	
															LC,	
															NO,	
															ТJ,	TM,
						UG,										
RW:															AM,	
	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,

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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            US 2003-702701
     US 2004122091
                          A1
                                20040624
                                                                    20031107
PRIORITY APPLN. INFO.:
                                            US 2003-702701
                                                                 A 20031107
                                            US 2000-239105P
                                                                 P 20001011
                                            US 2001-976899
                                                                A3 20011011
     Title compds. W1ZmSOGSOZmW2 (I) [wherein Z = independently CH2, CH:CH, or
AB
     C6H4; m = independently 1-9; when Z = C6H4, m = 1; G = (CH2)x,
     CH2CH:CHCH2, CH:CH, CH2C6H4CH2, or C6H4; x = 2-4; W1 and W2 = 1
     independently CR1R2 (CH2) nY, tetrahydro (oxo) pyranyl (oxy), oxooxetanyl,
     tetrahydrooxofuranyl, etc.; CR1R2(CH2)cCR3R4(CH2)nY, or CR1R2(CH2)cV; n =
     0-4; c = 1-2; R1 and R2 = independently alkyl, alkenyl, alkynyl, Ph, or
     benzyl; or when one or both of W1 and W2 = CR1R2(CH2)cCR3R4Y, then R1 and
     R2 can both be H; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl,
     Br, NO2, or CF3; R4 = OH, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl,
     Br, CN, NO2, or CF3; Y = OH, CO2H, CHO, CO2R5, SO3H, mono-, di-, or
     triphosphate, dioxo- or dithioxohexahydrothieno[3,2-c]pyridinyl,
     sulfamoyl, tetrazolyl, hydroxyoxazolyl, hydroxypyranonyl, substituted
     imidazolidinedionyl, etc.; R5 = (un)substituted alkyl, alkenyl, alkynyl,
     Ph, or benzyl] were prepared as peroxisome proliferator activated receptor
     (PPAR) antagonists for treatment and prevention of cardiovascular
     diseases, dyslipidemias, dysproteinemias, and glucose metabolism disorders.
     are also useful for treating and preventing Alzheimer's Disease, Syndrome
     X, PPAR-related disorders, septicemia, thrombotic disorders, obesity,
     pancreatitis, hypertension, renal disease, cancer inflammation, and
     impotence. For example, 6-(5,5-dimethyl-6-hydroxyhexylsulfanyl)-2,2-
     dimethylhexan-1-ol was oxidized to 6-(5,5-dimethyl-6-hydroxyhexane-1-
     sulfinyl)-2,2-dimethylhexan-1-ol (quant.) using H2O2 in glacial AcOH.
     latter increased reduced serum triglycerides in female obese Zucker rats
     by 48% and 42% after 1 and 2 wk of treatment. Although non-HDL
     cholesterol increased by 38% and 62%, a marked increase in HDL cholesterol
     of 2.2-fold and 3.1-fold after one and two weeks of treatment, resp.,
     resulted in an unexpectedly beneficial increased ratio of HDL/non-HDL
     cholesterol from 2.70 (pretreatment) to 3.84 and 4.97. In certain
     embodiments, I may be administered in combination therapy with other
     therapeutics, such as hypocholesterolemic and hypoglycemic agents.
     412951-74-7P 412951-75-8P 412951-76-9P
IT
     412951-77-0P 412951-78-1P 412951-79-2P
     412951-80-5P 412951-81-6P 412951-82-7P
     412951-83-8P 412951-84-9P 412951-85-0P
     412951-86-1P 412951-87-2P 412951-88-3P
     412951-89-4P 412951-90-7P 412951-91-8P
     412951-92-9P 412951-93-0P 412951-94-1P
     412951-95-2P 412951-96-3P 412951-97-4P
     412951-98-5P 412951-99-6P 412952-00-2P
     412952-01-3P 412952-02-4P 412952-03-5P
     412952-04-6P 412952-05-7P 412952-06-8P
     412952-07-9P 412952-08-0P 412952-09-1P
     412952-10-4P 412952-11-5P 412952-12-6P
     412952-13-7P 412952-14-8P 412952-15-9P
     412952-16-0P 412952-17-1P 412952-18-2P
     412952-19-3P 412952-20-6P 412952-21-7P
    412952-22-8P 412952-23-9P 412952-24-0P
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    412952-34-2P 412952-35-3P 412952-36-4P
    412952-37-5P 412952-38-6P 412952-39-7P
    412952-40-0P 412952-41-1P 412952-42-2P
    412952-43-3P 412952-44-4P 412952-45-5P
    412952-47-7P 412952-49-9P 412952-51-3P
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412952-52-4P 412952-53-5P 412952-54-6P 412952-55-7P 412952-56-8P 412952-57-9P

RN

CN

412951-76-9 CAPLUS

(CA INDEX NAME)

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412952-58-0P 412952-59-1P 412952-60-4P
     412952-61-5P 412952-62-6P 412952-63-7P
     412952-64-8P 412952-65-9P 412952-66-0P
     412952-67-1P 412952-68-2P 412952-69-3P
     412952-70-6P 412952-71-7P 412952-72-8P
     412952-73-9P 412952-74-0P 412952-75-1P
     412952-76-2P 412952-77-3P 412952-78-4P
     412952-79-5P 412952-80-8P 412952-81-9P
     412952-82-0P 412952-83-1P 412952-84-2P
     412952-85-3P 412952-86-4P 412952-87-5P
     412952-88-6P 412952-89-7P 412952-90-0P
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     412952-97-7P 412952-98-8P 412952-99-9P
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     412953-03-8P 412953-04-9P 412953-05-0P
     412953-06-1P 412953-07-2P 412953-08-3P
     412953-09-4P 412953-10-7P 412953-11-8P
     412953-12-9P 412953-13-0P 412953-14-1P
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     412953-18-5P 412953-19-6P 412953-20-9P
     412953-21-0P 412953-22-1P 412953-23-2P
     412953-24-3P 412953-26-5P 412953-28-7P
     412953-29-8P 412953-30-1P 412953-31-2P
     412953-32-3P 412953-33-4P 412953-34-5P
     412953-35-6P 412953-36-7P 412953-37-8P
     412953-38-9P 412953-39-0P 412953-40-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol
        management and related uses)
RN
     412951-74-7 CAPLUS
CN
     2-Butanol, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2-methyl- (9CI)
     INDEX NAME)
     -CH_2-CH_2-S-(CH_2)_3-S-CH_2-CH_2
   Me
                                      Me
RN
     412951-75-8 CAPLUS
CN
     1-Butanol, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl- (9CI)
     INDEX NAME)
          -CH_2-CH_2-S-(CH_2)_3-S-CH_2-CH_2-C-CH_2-OH
       Me
                                          Me
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Butanoic acid, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl- (9CI)

RN 412953-40-3 CAPLUS

CN 1-Pentanol, 5,5'-[1,2-ethanediylbis(sulfinyl)]bis[3,3-dimethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:362049 CAPLUS

DOCUMENT NUMBER:

142:417191

TITLE:

Drug administration method and formulations for control of renal transporter-mediated drug transport

INVENTOR (S):

Kawai, Keiichi; Takamura, Tokuhito; Shikano, Naoto;

Nishii, Ryuichi

PATENT ASSIGNEE(S):

Nihon Mediphysics Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005112750	A2	20050428	JP 2003-347116	20031006
PRIORITY APPLN. INFO.:			JP 2003-347116	20031006

AB Renal transporter-mediated excretion of the 1st drugs (e.g., radiodiagnostic agents or radiopharmaceuticals) are controlled by previous, simultaneous, or subsequent administration of the 2nd drugs comprising inhibitors for the renal transporters. The drug formulations comprise the 1st and 2nd drugs placed in sep. containers. The transport of 99mTc-labeled mercaptoacetylglycylglycylglycine (Tc-MAG3) to the bladder within 15 min after administration to mice was suppressed and its accumulation in organs was increased by administering probenecid (20 mg/kg i.v.) before Tc-MAG3 administration.

IT 14344-49-1D, radiolabeled

RL: DGN (Diagnostic use); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(control of renal transporter-mediated drug excretion by administration of renal transporter inhibitors)

RN 14344-49-1 CAPLUS

CN L-Cysteine, S,S'-1,2-ethanediylbis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:78257

DOCUMENT NUMBER: 142:155552

TITLE: Preparation of sulfide and disulfide compounds for

cholesterol management

INVENTOR(S): Dasseux, Jean-louis Henri; Oniciu, Daniela Carmen

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 137 pp., Cont.-in-part of U.S.

CAPLUS

Ser. No. 976,898.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005020694	A1	20050127	US 2003-743950	20031224
US 2002077316	A1	20020620	US 2001-976898	20011011
US 6703422	B2	20040309		
PRIORITY APPLN. INFO.:			US 2001-976898	A2 20011011
			IIS 2000-239231D	D 20001011

OTHER SOURCE(S): MARPAT 142:155552

AB Title compds. W1-Zm-S-G-S-Zm-W2 [Z = CH2, CH=CH, phenyl; m = 1-9; G = (CH2)2-4, CH2CH=CHCH2, etc.; W1-2 = divalent alkyl, etc.; I] are prepared For instance, 5-[2-(4-carboxy-4-methylpentylsulfanyl)ethylsulfanyl]-2,2-dimethylpentanoic acid is prepared in 3 steps from Et 5-bromo-2,2-dimethylpentanoate. Compds. of the invention are useful for treating and preventing cardiovascular diseases, dyslipidemias, dysproteinemias, and glucose metabolism disorders comprising administering a composition comprising

ether compound I are also useful for treating and preventing Alzheimer's Disease, Syndrome X, peroxisome proliferator activated receptor-related disorders, septicemia, thrombotic disorders, obesity, pancreatitis, hypertension, renal disease, cancer, inflammation, and impotence. In certain embodiments, the compds., compns., and methods of the invention are useful in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

IT 412932-76-4P

an

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of sulfide and disulfide compds. for cholesterol management)

RN 412932-76-4 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CF INDEX NAME)

IT 412937-64-5P 412937-88-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of sulfide and disulfide compds. for cholesterol management)

RN 412937-64-5 CAPLUS

Pentanoic acid, 5,5'-[1,2-ethanediylbis(thio)]bis[2,2-dimethyl- (9CI) CN INDEX NAME)

RN 412937-88-3 CAPLUS

CNButanoic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl-, disodium salt (9CI) (CA INDEX NAME)

## D2 Na

ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:857199 CAPLUS

DOCUMENT NUMBER:

141:331803

TITLE:

Preparation of sulfoxide and bis-sulfoxide compounds

and compositions for cholesterol management and

related uses

INVENTOR(S):

Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 142 pp., Cont.-in-part of U.S.

Ser. No. 976,899.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004204502	A1	20041014	US 2003-744401	20031224
US 2003022865	A1	20030130	US 2001-976899	20011011
US 6673780	B2	20040106	,	
PRIORITY APPLN. INFO.:			US 2001-976899	A2 20011011
			US 2000-239105P	P 20001011

OTHER SOURCE(S): MARPAT 141:331803 ·

Title compds. W1ZmSOGSOZmW2 (I) [wherein Z = independently CH2, CH:CH, orC6H4; m = independently 1-9; when Z = C6H4, m = 1; G = (CH2)x, CH2CH:CHCH2, CH:CH, CH2C6H4CH2, or C6H4; x = 2-4; W1 and W2 = independently CR1R2(CH2)nY, tetrahydro(oxo)pyranyl(oxy), oxooxetanyl,

IT

tetrahydrooxofuranyl, etc.; CR1R2(CH2)cCR3R4(CH2)nY, or CR1R2(CH2)cV; n = 0-4; c = 1-2; R1 and R2 = independently alkyl, alkenyl, alkynyl, Ph, or benzyl; or when one or both of W1 and W2 = CR1R2(CH2)cCR3R4Y, then R1 and R2 can both be H; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl, Br, NO2, or CF3; R4 = OH, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl, Br, CN, NO2, or CF3; Y = OH, CO2H, CHO, CO2R5, SO3H, mono-, di-, or triphosphate, dioxo- or dithioxohexahydrothieno[3,2-c]pyridinyl, sulfamoyl, tetrazolyl, hydroxyoxazolyl, hydroxypyranonyl, substituted imidazolidinedionyl, etc.; R5 = (un)substituted alkyl, alkenyl, alkynyl, Ph, or benzyl] were prepared as peroxisome proliferator activated receptor (PPAR) antagonists for treatment and prevention of cardiovascular diseases, dyslipidemias, dysproteinemias, and glucose metabolism disorders. are also useful for treating and preventing Alzheimer's Disease, Syndrome X, PPAR-related disorders, septicemia, thrombotic disorders, obesity, pancreatitis, hypertension, renal disease, cancer inflammation, and impotence. For example, 6-(5,5-dimethyl-6-hydroxyhexylsulfanyl)-2,2dimethylhexan-1-ol was oxidized to 6-(5,5-dimethyl-6-hydroxyhexane-1sulfinyl)-2,2-dimethylhexan-1-ol (quant.) using H2O2 in glacial AcOH. latter increased reduced serum triglycerides in female obese Zucker rats by 48% and 42% after 1 and 2 wk of treatment. Although non-HDL cholesterol increased by 38% and 62%, a marked increase in HDL cholesterol of 2.2-fold and 3.1-fold after one and two weeks of treatment, resp., resulted in an unexpectedly beneficial increased ratio of HDL/non-HDL cholesterol from 2.70 (pretreatment) to 3.84 and 4.97. In certain embodiments, I may be administered in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents. 412951-74-7P 412951-75-8P 412951-76-9P 412951-77-0P 412951-78-1P 412951-79-2P 412951-80-5P 412951-81-6P 412951-82-7P 412951-83-8P 412951-84-9P 412951-85-0P 412951-86-1P 412951-87-2P 412951-88-3P 412951-89-4P 412951-90-7P 412951-91-8P 412951-92-9P 412951-93-0P 412951-94-1P 412951-95-2P 412951-96-3P 412951-97-4P 412951-98-5P 412951-99-6P 412952-00-2P

412952-01-3P 412952-02-4P 412952-03-5P 412952-04-6P 412952-05-7P 412952-06-8P 412952-07-9P 412952-08-0P 412952-09-1P 412952-10-4P 412952-11-5P 412952-12-6P 412952-13-7P 412952-14-8P 412952-15-9P 412952-16-0P 412952-17-1P 412952-18-2P 412952-19-3P 412952-20-6P 412952-21-7P 412952-22-8P 412952-23-9P 412952-24-0P 412952-25-1P 412952-26-2P 412952-27-3P 412952-28-4P 412952-29-5P 412952-30-8P 412952-31-9P 412952-32-0P 412952-33-1P 412952-34-2P 412952-35-3P 412952-36-4P 412952-37-5P 412952-38-6P 412952-39-7P 412952-40-0P 412952-41-1P 412952-42-2P 412952-43-3P 412952-44-4P 412952-45-5P 412952-47-7P 412952-49-9P 412952-51-3P 412952-52-4P 412952-53-5P 412952-54-6P 412952-55-7P 412952-56-8P 412952-57-9P 412952-58-0P 412952-59-1P 412952-60-4P 412952-61-5P 412952-62-6P 412952-63-7P 412952-64-8P 412952-65-9P 412952-66-0P 412952-67-1P 412952-68-2P 412952-69-3P 412952-70-6P 412952-71-7P 412952-72-8P 412952-73-9P 412952-74-0P 412952-75-1P 412952-76-2P 412952-77-3P 412952-78-4P 412952-79-5P 412952-80-8P 412952-81-9P 412952-82-0P 412952-83-1P 412952-84-2P 412952-85-3P 412952-86-4P 412952-87-5P

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RN

CN INDEX NAME)

412951-75-8 CAPLUS 1-Butanol, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412951-76-9 CAPLUS

CNButanoic acid, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412951-77-0 CAPLUS Butanal, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl-(9CI) CN (CA INDEX NAME)

ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252374 CAPLUS

DOCUMENT NUMBER: 140:259143

TITLE: Preparation for controlling binding of drug to plasma

protein

Kawai, Keiichi; Takamura, Norito INVENTOR(S): PATENT ASSIGNEE(S): Nihon Medi-Physics Co., Ltd., Japan

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPLICATION NO.					<del></del>			
						-				<del></del>								
WO	2004	0241	88					,	WO 2003-JP11516				;					
	W: AE, AG, AL,		AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,			
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NZ,	OM,	
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW				
	RW:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
							ΙE,											
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
PRIORIT	Y APP	LN.	INFO	. :						JP 2	002-	2670	10	1	A 20	0020	912	
AB It	is i	nten	ded	to p	rovi	de a	pre	para	tion	con	trol	ling	the	cond	cent	ratio	on of	an
active											•							

ingredient, which has binding affinity for a plasma protein, released in the blood, characterized in that, in the administration of the active ingredient having binding affinity for a plasma protein, a preparation containing

one or more amino acids having binding affinity for the same plasma protein as the above-described active ingredient does is administered simultaneously with the active ingredient or before or after the administration thereof to thereby control the binding of the active ingredient to the plasma protein, and a method of administering the preparation The effects of amino acids including Trp, Asp, Leu, Met, proteamin 12X, N-acetyltryptophan on regulation of binding of 123I-N-isopropyl-piodoamphetamine to plasma protein were examined

IT **14344-49-1D**, radiolabeled

> RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. containing amino acids for controlling binding of drug to plasma protein)

RN 14344-49-1 CAPLUS

L-Cysteine, S,S'-1,2-ethanediylbis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:293609 CAPLUS

DOCUMENT NUMBER:

136:325530

TITLE:

Aliphatic, aromatic, and heterocyclic sulfide and disulfide compounds and compositions for cholesterol

management and related uses

INVENTOR(S):

Dasseux, Jean-Louis H.; Oniciu, Carmen Daniela Esperion Therapeutics, Inc., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 278 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2002030884 WO 2002030884		WO 2001-US31869	20011011			
CO, CR, CU, GM, HR, HU, LS, LT, LU, PT, RO, RU,	CZ, DE, DK, DM, D; ID, IL, IN, IS, J; LV, MA, MD, MG, M; SD, SE, SG, SI, Si	A, BB, BG, BR, BY, E Z, EC, EE, ES, FI, G P, KE, KG, KP, KR, K K, MN, MW, MX, MZ, N K, SL, TJ, TM, TR, T	GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, PH, PL,			
KZ, MD, RU, IE, IT, LU, GQ, GW, ML,	LS, MW, MZ, SD, SI TJ, TM, AT, BE, CI MC, NL, PT, SE, TI MR, NE, SN, TD, TO		FI, FR, GB, GR, CI, CM, GA, GN,			
AU 2002011666	A5 20020422	CA 2001-2425674 AU 2002-11666 EP 2001-979734	20011011			
R: AT, BE, CH, IE, SI, LT,	DE, DK, ES, FR, GI LV, FI, RO, MK, CY T2 20041028	B, GR, IT, LI, LU, N	NL, SE, MC, PT,			
OTHER SOURCE(S):		WO 2001-US31869				

Ι

RN 412932-75-3 CAPLUS

CN 1-Butanol, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412932-76-4 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412932-77-5 CAPLUS

CN Butanal, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412932-78-6 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl-, dimethylester (9CI) (CA INDEX NAME)

RN 412932-79-7 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl-, diphenyl ester (9CI) (CA INDEX NAME)

RN 412932-80-0 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 412932-81-1 CAPLUS

CN 2-Butanesulfonic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} {\rm SO_3H} & {\rm SO_3H} \\ | \\ {\rm Me^-\,C^-\,CH_2^-\,CH_2^-\,S^-\,(CH_2)_{\,3}^-\,S^-\,CH_2^-\,CH_2^-\,C^-\,Me} \\ | \\ | \\ {\rm Me} & {\rm Me} \end{array}$$

RN 412932-82-2 CAPLUS

CN 2-Oxa-6,10-dithia-1-phosphatetradecan-13-ol, 1,1-dihydroxy-3,3,13trimethyl-, 13-(dihydrogen phosphate), 1-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OPO}_3\text{H}_2 & \text{OPO}_3\text{H}_2 \\ | & & | \\ \text{Me-C-CH}_2\text{-CH}_2\text{-S-(CH}_2)_3\text{-S-CH}_2\text{-CH}_2\text{-C-Me} \\ | & | \\ \text{Me} & & \text{Me} \end{array}$$

RN 412932-83-3 CAPLUS

CN Thieno[3,2-c]pyridine-4,6(2H,5H)-dione, 5,5'-[1,3-propanediylbis[thio(1,1-dimethyl-3,1-propanediyl)]]bis[3,3a-dihydro-(9CI) (CA INDEX NAME)

RN 412932-84-4 CAPLUS

CN Thieno[3,2-c]pyridine-4,6(2H,5H)-dithione, 5,5'-[1,3-propanediylbis[thio(1,1-dimethyl-3,1-propanediyl)]]bis[3,3a-dihydro-(9CI) (CA INDEX NAME)

RN 412932-85-5 CAPLUS

CN Butanamide, 4,4'-[1,3-propanediylbis(thio)]bis[N-cyano-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412932-86-6 CAPLUS

CN Phosphoramidic acid, 1,3-propanediylbis[thio(1,1-dimethyl-3,1-propanediyl)] ester (9CI) (CA INDEX NAME)

RN 412932-87-7 CAPLUS

CN Phosphonamidic acid, P,P'-[1,3-propanediylbis[thio(1,1-dimethyl-3,1-propanediyl)]]bis- (9CI) (CA INDEX NAME)

RN 412932-88-8 CAPLUS

CN 1H-Tetrazole, 1,1'-[1,3-propanediylbis[thio(1,1-dimethyl-3,1-propanediyl)]]bis- (9CI) (CA INDEX NAME)

$$N = \begin{bmatrix} Me & Me & Me \\ N & C & CH_2 - CH_2 - S - (CH_2)_3 - S - CH_2 - CH_2 - C & N \\ Me & Me & Me \end{bmatrix}$$

RN 412932-89-9 CAPLUS

CN lH-Tetrazole, 5,5'-[1,3-propanediylbis[thio(1,1-dimethyl-3,1-propanediyl)]]bis- (9CI) (CA INDEX NAME)

RN 412932-99-1 CAPLUS

CN Pentanoic acid, 5,5'-[1,3-propanediylbis(thio)]bis[3,3-dimethyl- (9CI) (CA INDEX NAME)

RN 412933-00-7 CAPLUS

CN Pentanal, 5,5'-[1,3-propanediylbis(thio)]bis[3,3-dimethyl- (9CI) (CA INDEX NAME)

RN 412933-01-8 CAPLUS

CN Pentanoic acid, 5,5'-[1,3-propanediylbis(thio)]bis[3,3-dimethyl-, dimethyl ester (9CI) (CA INDEX NAME)

RN 412933-02-9 CAPLUS

CN Pentanoic acid, 5-[[3-[(3,3-dimethyl-4-oxo-4-phenoxybutyl)thio]propyl]thio ]-3,3-dimethyl-, phenyl ester (9CI) (CA INDEX NAME)

RN 412933-03-0 CAPLUS

CN Pentanoic acid, 5-[[3-[[3,3-dimethyl-4-oxo-4-(phenylmethoxy)butyl]thio]propyl]thio]-3,3-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

— Ph

RN 412933-04-1 CAPLUS

CN 1-Butanesulfonic acid, 4,4'-[1,3-propanediylbis(thio)]bis[2,2-dimethyl-(9CI) (CA INDEX NAME)

RN 412933-05-2 CAPLUS

CN 2-Oxa-7,11-dithia-1-phosphapentadecan-15-ol, 1,1-dihydroxy-4,4,14,14-tetramethyl-, 15-(dihydrogen phosphate), 1-oxide (9CI) (CA INDEX NAME)

RN 412933-06-3 CAPLUS

CN Thieno[3,2-c]pyridine-4,6(2H,5H)-dione, 5,5'-[1,3-propanediylbis[thio(2,2-dimethyl-4,1-butanediyl)]]bis[3,3a-dihydro-(9CI) (CA INDEX NAME)

PAGE 1-B

RN 412933-07-4 CAPLUS

CN Thieno[3,2-c]pyridine-4,6(2H,5H)-dithione, 5,5'-[1,3-propanediylbis[thio(2,2-dimethyl-4,1-butanediyl)]]bis[3,3a-dihydro-(9CI)(CA INDEX NAME)

S< Me

PAGE 1-B

PAGE 1-A

RN

412933-08-5 CAPLUS Pentanamide, 5,5'-[1,3-propanediylbis(thio)]bis[N-cyano-3,3-dimethyl-CN(CA INDEX NAME)

RN 412933-09-6 CAPLUS

CN Phosphoramidic acid, 1,3-propanediylbis[thio(2,2-dimethyl-4,1-butanediyl)] ester (9CI) (CA INDEX NAME)

RN 412933-10-9 CAPLUS

CN 1H-Tetrazole, 1,1'-[1,3-propanediylbis[thio(2,2-dimethyl-4,1butanediyl)]]bis- (9CI) (CA INDEX NAME)

RN 412933-12-1 CAPLUS

CN 1H-Tetrazole, 5,5'-[1,3-propanediylbis[thio(2,2-dimethyl-4,1butanediyl)]]bis- (9CI) (CA INDEX NAME)

RN 412933-41-6 CAPLUS

CN Butanoic acid, 4,4'-[1,2-ethanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412933-43-8 CAPLUS

CN 2-Butanesulfonic acid, 4,4'-[1,2-ethanediylbis(thio)]bis[2-methyl- (9CI) (CA INDEX NAME)

RN 412933-44-9 CAPLUS

CN Butanal, 4,4'-[1,2-ethanediylbis(thio)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412933-45-0 CAPLUS

CN Butanoic acid, 4,4'-[1,2-ethanediylbis(thio)]bis[2,2-dimethyl-, dimethyl ester (9CI) (CA INDEX NAME)

RN 412933-46-1 CAPLUS

CN Butanoic acid, 4,4'-[1,2-ethanediylbis(thio)]bis[2,2-dimethyl-, diphenyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:293607 CAPLUS

DOCUMENT NUMBER:

136:325232

TITLE:

Preparation of sulfoxide and bis-sulfoxide compounds

and compositions for cholesterol management and

related uses

INVENTOR(S):

Dasseux, Jean-Louis H.; Oniciu, Carmen Daniela

PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA

SOURCE:

PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.								APPLICATION NO.				DATE				
					A2				WO 2001-US31871						20011011		
WC	2002	20308	82		C2		2003	0220									
WO	2002	20308	82		A3 20030925												
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
							IN,										
							MD,										
							SG,										
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	RW:	GH,			•		M7.	SD.	ST.	S7.	TZ	IIG	7.W	ΔM	Δ7.	BV	KG
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							PT,										
							SN,			Br,	ы,	CF,	CG,	CI,	CM,	GA,	GN,
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							2002										
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	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JI	2004	5314	59		T2		2004	1014		JP 2	002-	5342	70		20	0011	011
PRIORIT											000-					0001	011
											001-					0011	
OTHER SOURCE(S):				MAR	РАТ	136:	32523										
						_											

Title compds. W1ZmSOGSOZmW2 (I) [wherein Z = independently CH2, CH:CH, or C6H4; m = independently 1-9; when Z = C6H4, m = 1; G = (CH2)x, CH2CH:CHCH2, CH:CH, CH2C6H4CH2, or C6H4; x = 2-4; W1 and W2 = independently CR1R2(CH2)nY, tetrahydro(oxo)pyranyl(oxy), oxooxetanyl, tetrahydrooxofuranyl, etc.; CR1R2(CH2)cCR3R4(CH2)nY, or CR1R2(CH2)cV; n = 0-4; c = 1-2; R1 and R2 = independently alkyl, alkenyl, alkynyl, Ph, or benzyl; or when one or both of W1 and W2 = CR1R2(CH2)cCR3R4Y, then R1 and R2 can both be H; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl, Br, NO2, or CF3; R4 = OH, alkyl, alkenyl, alkynyl, alkoxy, Ph, benzyl, Cl, Br, CN, NO2, or CF3; Y = OH, CO2H, CHO, CO2R5, SO3H, mono-, di-, or triphosphate, dioxo- or dithioxohexahydrothieno[3,2-c]pyridinyl, sulfamoyl, tetrazolyl, hydroxyoxazolyl, hydroxypyranonyl, substituted

imidazolidinedionyl, etc.; R5 = (un)substituted alkyl, alkenyl, alkynyl,

412953-15-2P 412953-16-3P 412953-17-4P 412953-18-5P 412953-19-6P 412953-20-9P 412953-21-0P 412953-22-1P 412953-23-2P 412953-24-3P 412953-26-5P 412953-28-7P 412953-29-8P 412953-30-1P 412953-31-2P 412953-32-3P 412953-33-4P 412953-34-5P

412953-35-6P 412953-36-7P 412953-37-8P 412953-38-9P 412953-39-0P 412953-40-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfoxide and bis-sulfoxide compds. as for cholesterol management and related uses)

RN 412951-74-7 CAPLUS

CN 2-Butanol, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2-methyl- (9CI) (CA INDEX NAME)

RN 412951-75-8 CAPLUS

CN 1-Butanol, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412951-76-9 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 412951-77-0 CAPLUS

CN Butanal, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl-(9CI) (CA INDEX NAME)

RN 412951-78-1 CAPLUS

CN Butanoic acid, 4,4'-[1,3-propanediylbis(sulfinyl)]bis[2,2-dimethyl-,

RN 412953-39-0 CAPLUS

CN 2-Imidazolidinone, 1,1'-[1,3-propanediylbis[sulfinyl(2,2-dimethyl-5,1-pentanediyl)]]bis[3-ethyl-5-thioxo-(9CI) (CA INDEX NAME)

RN 412953-40-3 CAPLUS

CN 1-Pentanol, 5,5'-[1,2-ethanediylbis(sulfinyl)]bis[3,3-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:138052 CAPLUS

DOCUMENT NUMBER: 136:330461

TITLE: Aggregation Properties of a Novel Class of Cationic

Gemini Surfactants Correlate with Their Efficiency as

Gene Transfection Agents

AUTHOR(S): Jennings, Kevin H.; Marshall, Ian C. B.; Wilkinson,

Michael J.; Kremer, Andreas; Kirby, Anthony J.;

Camilleri, Patrick

CORPORATE SOURCE: Department of Analytical Chemistry, GlaxoSmithKline,

Harlow, Essex, CM19 5AW, UK

SOURCE: Langmuir (2002), 18(6), 2426-2429

CODEN: LANGD5; ISSN: 0743-7463

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB A group of cationic gemini surfactants comprising C12 saturated hydrocarbon tails and a short peptide headgroup with one or more basic amino acid residues show differences in their aggregation properties when dissolved in water and adsorbed onto carbon/Formgvar coated grids or freshly cleaved mica. A correlation was observed between surfactant morphol. and transfection efficiency. The surfactants that formed arrays or fibrils were ineffective as transfection agents in CHO-K1 cells, while those lacking these features were highly effective.

IT 307351-28-6 307351-29-7 307351-30-0 307351-32-2 307351-33-3

Absolute stereochemistry.

PAGE 1-B

$$-$$
 (CH<sub>2</sub>) $\frac{}{11}$  Me

RN 307351-29-7 CAPLUS
CN L-Serinamide, 3,3'-(1,2-ethanediyl)bis[L-lysyl-L-seryl-L-cysteinyl-N-dodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me 
$$(CH_2)_{11}$$
  $(CH_2)_{4}$   $(CH_2)_{4}$ 

PAGE 1-B

PAGE 2-A

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1999:795681 CAPLUS

DOCUMENT NUMBER:

132:35606

TITLE:

Preparation of multibinding piperidinylindole

derivatives as therapeutic agents that modulate 5-HT

receptors

INVENTOR(S): PATENT ASSIGNEE(S): Marquess, Daniel; Griffin, John H.; Choi, Seok-Ki Advanced Medicine, Inc., USA

SOURCE:

PCT Int. Appl., 190 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 31

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE			APPI	JICAT	ION	NO.		D.	ATE	
WO	9964	044			A1		1999	 1216		WO 1	999-	 US12	751		1	 9990:	 607
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	2319				AA		1999				999-					9990	
	2319				AA		1999				999-					9990	
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	2321				AA		1999				999-				1:	9990	507
	2321				AA		1999				.999-				1	9990	507
	9944				A1		1999				.999-		_		1	99906	507
	9944				A1		1999	1230			.999-				1	99906	607
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	9946				A1		1999	1230			.999-				1:	99906	507
ΑU	9946	751			A1		1999	1230		AU 1	.999-	4675	1		1:	99906	507
ΑU	9946	752			A1		1999	1230		AU 1	.999-	4675	2		1:	99906 99906	507
	9946				A1		1999				999-				Δ.	99906	507
EΡ	1019				A1		2000				999-					9990	
	R:	AT, IE,	FI				, ES,										•
ΕP	1080	080			A1		2001	0307		EP 1	999-	9301	58		1:	99906	507
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE.	MC.	PT.
		IE,		•	•		•	•	•	,	- •	- •	,		,	,	,
ΕP	1083	•			A1		2001	0321		EP 1	999-	9272	91		19	9906	507
	R:		BE.	CH.		DK.	ES,							NT			
		IE,		,	,	,	,	,	,	,	,	,	20,	,	J-,	,	,
ΕP	1083	•	_		A1		2001	0321		EP 1	999-	9273	17		1 (	9906	507
	R:		BE.	CH.		DK.	ES,							NT.			
		IE,		,	,	/	,	,	,	/	,	,	20,	,	22,	,	,

multibinding compds., formed from two piperidinylindole derivs. and a difunctional linker, were prepared For example, condensation of 5-(4-fluorobenzoyl)amino-3-(piperidin-4-yl)-1H-indole with 1,2-dibromoethane at 72° in DMF, after workup and chromatog., yielded the dimer II. Compds. of this invention are useful in the treatment of migraine, headache, itch, motion sickness, depression, emesis, memory loss, anxiolytic disorders, obesity, gastrointestinal disorders, and irritable bowel syndrome (no data). The multibinding compds. provide greater biol. and/or therapeutic effects than the aggregate of the unlinked ligands due to their multibinding properties (no data). Combinatorial arrays, methods of synthesis, and methods of assaying the dimeric and multimeric compds. are also embodied by the invention.

252355-36-5P IT

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of multibinding piperidinylindole derivs. as therapeutic agents that modulate 5-HT receptors and are useful for the treatment of migraine)

RN 252355-36-5 CAPLUS

> Acetamide, 2,2'-[1,2-ethanediylbis(thio)]bis[N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

> > PAGE 1-A

$$\begin{tabular}{c|cccc} $\mathsf{Me}$ & $\mathsf{N}$ & $\mathsf{O}$ & $\mathsf{$$

PAGE 1-B

10

ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER:

REFERENCE COUNT:

1999:390415 CAPLUS

DOCUMENT NUMBER:

131:49469

TITLE:

Peptide-based gemini compounds

INVENTOR(S):

Camilleri, Patrick; Kremer, Andreas; Rice, Simon

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Quentyn John

PATENT ASSIGNEE(S):

SmithKline Beecham PLC, UK

SOURCE:

PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ---------------WO 9929712 **A1** 19990617 WO 1998-GB3652 19981208 W: CA, JP, US

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1997:276769 CAPLUS

DOCUMENT NUMBER:

126:343884

TITLE:

Preparation of dimeric hemoregulatory peptides

INVENTOR(S):

Bhatnagar, Pradip K.; Huffman, William F.; Talmadge,

PATENT ASSIGNEE(S):

Smithkline Beecham Corporation, USA

SOURCE:

U.S., 32 pp., Cont.-in-part of U.S. Ser. No. 819,024,

abandoned. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620957	Α	19970415	US 1993-1905	19930108
US 5776900	A	19980707	US 1995-453123	19950530
PRIORITY APPLN. INFO.:			US 1989-380578 B	2 19890714
			US 1990-547730 B	2 19900702
			US 1992-819024 B	2 19920110
•			US 1993-1905 A	1 19930108
OTHER SOURCE(S):	MARPAT	126:343884		

GI

The invention provides dimeric peptides I [Y1 = CH2, S; Y2 = CH2, S; x =0-4; m = 0-2; n = 0-2; A = pGlu, Pro, Gln, Tyr, Glu, heterocyclic carboxylic acid, cyclohexanecarboxylic acid; B = Ser, Thr, Glu, Tyr, Asp; C = Glu, Tyr, Asp, Ser, Ala, Phe, His, Ile, Leu, Met, Tyr, Thr, Trp, Nle, allo-Thr, Gln, Asn, Val, Pro, Gly, Lys,  $\beta$ -Ala, Sar; D = Lys, Arg, Tyr, N-methylarginine, Asp, Orn, Ser, Ala, Phe, His, Ile, Leu, Met, Thr, Trp, Nle, allo-Thr, Gln, Asn, Val, Pro, Gly, Lys,  $\beta$ -Ala, Sar, diaminohexynoic acid, or the carboxamide or hydroxymethyl derivative thereof; E = Glu, Asp, Tyr, bond; F = Tyr, bond], with provisos, or a pharmaceutically acceptable salt thereof. I have hemorequlatory activities and can be used to stimulate hematopoiesis and for the treatment of viral, fungal and bacterial infectious diseases.

IT 134143-37-6P 134143-38-7P 134143-39-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dimeric hemoregulatory peptides)

RN 134143-37-6 CAPLUS

CN L-Lysine, 4,4'-(1,3-propanediyl)bis[5-oxo-L-prolyl-L-α-glutamyl-L- $\alpha$ -aspartyl-L-cysteinyl- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 134143-39-8 CAPLUS

CN L-Lysine, 4,4'-(1,4-butanediyl)bis[5-oxo-L-prolyl-L- $\alpha$ -glutamyl-L- $\alpha$ -aspartyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1997:165101 CAPLUS

DOCUMENT NUMBER:

126:162326

TITLE:

Copolymer soft intraocular lenses having high

refractive indexes

INVENTOR (S):

PATENT ASSIGNEE (S):

Kyo, Takeshi

SOURCE:

Hoya Corp, Japan Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 08336581	A2	19961224	JP 1995-147065	19950614
	JP 3429393	B2	20030722	•	
PRIO	RITY APPLN. INFO.:			JP 1995-147065	19950614
AB	Copolymer soft intr			ng high refractive inde	xes are

A prepared with S-containing bifunctional monomers such as [CH2:CHCO2(CH2)6CO2CH2CH2SCH2-]2, benzoic acid unsatd. ester monomers and/or (meth)acrylate monomers.

ΙT 186963-12-2P 186963-18-8P

> RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polymer soft intraocular lenses having high refractive indexes)

RN 186963-12-2 CAPLUS

CN Benzoic acid, ethenyl ester, polymer with 6-[(1-oxo-2-propenyl)oxy]hexyl 10,19-dioxo-11,18-dioxa-4,7-dithiaheneicos-20-enoate (9CI) (CA INDEX

CM 1

CRN 142032-98-2 CMF C26 H42 O8 S2

PAGE 1-B

CM 2

CRN 769-78-8 CMF C9 H8 O2

RN 186963-18-8 CAPLUS 10/743,950

CN Benzoic acid, ethenyl ester, polymer with 2-ethylhexyl 2-propenoate and 6-[(1-oxo-2-propenyl)oxy]hexyl 10,19-dioxo-11,18-dioxa-4,7-dithiaheneicos-20-enoate (9CI) (CA INDEX NAME)

CM 1

CRN 142032-98-2 CMF C26 H42 O8 S2

PAGE 1-B

CM 2

CRN 769-78-8 CMF C9 H8 O2

CM 3

CRN 103-11-7 CMF C11 H20 O2

L6 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1996:546098 CAPLUS

CODEN: JKXXAF

DOCUMENT NUMBER:

125:177479

TITLE:

Dental polymers with improved durability and wear- and

water-resistances

INVENTOR(S):

Irisato, Yoshihiro; Kanemura, Yoshinobu; Nagata,

Teruyuki

PATENT ASSIGNEE(S):

SOURCE:

Mitsui Toatsu Chemicals, Japan Jpn. Kokai Tokkyo Koho, 14 pp.

DOCUMENT TYPE:

Patent

## 10/743,950

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08157320	A2	19960618	JP 1994-301996	19941206
PRIORITY APPLN. INFO.:			JP 1994-301996	19941206

AΒ Dental polymer materials (composite resins) with improved durability and wear- and water-resistances comprise ≥3 S-containing (meth)acrylic esters and other monomers and have refractive indexes of  $\geq$  1.56. Thus, copolymer of S[Ph-SCH2CH(OH)CH2COO2C(CH3):CH2]2, camphor quinone, and N,N-dimethylethyl methacrylate was prepared and its refractive index was determined to be 1.631.

IT180796-18-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dental polymers with improved durability and wear- and water-resistances)

RN180796-18-3 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-(dimethylamino)ethyl ester, polymer with 1,2-ethanediylbis[thio(2-hydroxy-3,1-propanediyl)] di-2-propenoate and 1,7,7-trimethylbicyclo[2.2.1]heptane-2,3-dione (9CI) (CA INDEX NAME)

CM

180796-17-2 C14 H22 O6 S2

PAGE 1-A OH OH OH OH OH 
$$_{\rm H_2C}$$
 CH- CH- CH<sub>2</sub>-S- CH<sub>2</sub>- CH- CH<sub>2</sub>- CH- CH<sub>2</sub>- O- C- CH===

PAGE 1-B

= CH<sub>2</sub>

CM 2

CRN 10373-78-1 CMF C10 H14 O2

10/743,950

CRN 2867-47-2 CMF C8 H15 N O2

$$\begin{array}{c|c} & \text{O} & \text{CH}_2 \\ \parallel & \parallel \\ \text{Me}_2 \text{N-CH}_2 - \text{CH}_2 - \text{O-C-C-Me} \end{array}$$

L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1996:345411 CAPLUS

DOCUMENT NUMBER:

125:33322

TITLE:

Preparation of  $\alpha, \alpha, \alpha'\alpha'$ -

INVENTOR(S):

tetrachlorodicarboxylic acid antidiabetic agents Voss, Edgar; Pill, Johannes; Freund, Peter

Boehringer Mannheim Gmbh, Germany

CODEN: GWXXBX

PATENT ASSIGNEE(S): SOURCE:

Ger. Offen., 6 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND 1	DATE	APPLICATION NO.	DATE				
	DE 4436578	A1		DE 1994-4436578 WO 1995-EP3980					
		BY, CA,	CN, CZ, EE,	FI, HU, JP, KR, KZ, M					
				GR, IE, IT, LU, MC, N					
	AU 9538406	A1	19960506	AU 1995-38406	19951010				
PRIC	RITY APPLN. INFO.: .			DE 1994-4436578 A	19941013				
				WO 1995-EP3980 W	19951010				
OTHE	R SOURCE(S):	MARPAT							
AB				[A  A1 = (un)  branched]	C1 - 10				
AB The title compds. HO2CCCl2ABA1CCl2CO2H [A, A1 = (un)branched C1-10 alkylene; B = phenylene, cyclohexylidene, S, O, (un)substituted NH],									
saraylene, b - phenylene, cyclonexylldene, S, O, (un)substituted NH],									
useful for the treatment of metabolic disorders [e.g., diabetes mellitus									
	(no data)], are prepared Thus, HCl2CCO2H was reacted with LiN(Pr-iso)2 and								
	1,4-bis(3-bromopropyl)benzene, producing 5-[4-(4-carboxy-4,4-								
dichlorobutyl)phenyl]-2,2-dichloropentanoic acid, m.p. 239-240°, in									
	68% yield.			_					
IT	177429-71-9P								
	RL: SPN (Synthetic	preparat:	ion); THU (T	Cherapeutic use); BIOL					
	(Biological study);								
	(preparation of								
	(preparation or	$\alpha, \alpha, \alpha, \alpha$	- recraculoic	dicarboxyric					

acid antidiabetic agents)
RN 177429-71-9 CAPLUS

CN Heptanoic acid, 7,7'-[1,3-propanediylbis(thio)]bis[2,2-dichloro- (9CI) (CA INDEX NAME)

 $HO_2C-CCl_2-(CH_2)_5-S-(CH_2)_3-S-(CH_2)_5-CCl_2-CO_2H$ 

L6 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1995:468609 CAPLUS

DOCUMENT NUMBER:

122:237789

TITLE:

Methods of enhancing bioactivity of chemokines

INVENTOR(S): Pelus, Louis M.; Bhatnagar, Pradip Kumar; King, Andrew

Garrison; Balcarek, Joanna Maria

PATENT ASSIGNEE(S):

SmithKline Beecham Corp., USA

SOURCE:

PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.		KIND DATE		APPLICATION NO.						DATE						
WO	9429341 W: JP,			A1	-	1994	1222	WC	19	94-1	US62	64		1	9940	603	
	RW: AT,			DE,	DK,	ES,	FR,	GB, G	R, :	ΙE,	IT,	LU,	MC,	NL,	PT,	SE	
EP	713495			A1		1996	0529	EF	199	94 -	9207	01		1	9940	603	
EP	713495			B1		2003	1105										
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R,	ΙE,	ΙT,	LI,	LU,	MC,	NL,	PT,	SE
JP	08511167			T2		1996	1126	JF	199	95-	5019	70		1	9940	603	
AT	253637			E		2003	1115	ΑT	199	94 - :	9207	01		1	9940	603	
EP	08511167 253637 1378522			A2		2004	0107	EF	200	03-	7681	3.		1	9940	603	
EP	1378522			<b>A3</b>		2004	0204					-					
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R, :	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
	IE,	SI															
PT	713495 2210255			T		2004	0331	PT	19	94 -	9207	01		1	9940	603	
ES	2210255			TЗ	:			ES									
US	6080398			Α		2000	0627	US	19	96-	5571	42		. 1	9960	305	
US	6399053			В1	;	2002	0604	US	199	99-	4671	60		1	9991	220	
US	6447766			B1		2002	0910	US	199	99-	4671	55		1	9991	220	
US	200405792	25		<b>A1</b>		2004	0325	US	200	02-	1461	82		. 2	0020	515	
PRIORITY	APPLN.	INFO.	:					US	199	93-	7380	0		A 1	9930	608	
	•					•									9940		
								WC	199	94-1	US62	64		W 1	9940	603 .	
								US	199	95-	5472	62		B2 1	9951	024	
															9960		
								WC	199	96-1	US17	074		A2 1	9961	024	
								US	199	97-	9998	04		B1 1	9971	126	
								US	199	99-4	4671	60		A3 1	9991	220	

OTHER SOURCE(S): MARPAT 122:237789

Methods of increasing the biol. activity of KC,  $gro-\alpha$ ,  $gro-\beta$ , and gro- $\gamma$  proteins for >1 log better than the full-length protein by truncating and modifying the proteins are disclosed. Preparation of murine KC protein and human gro- $\beta$  protein by recombinant or synthetic method was shown. A pharmaceutical composition containing the modified peptides in monomeric or multimeric forms for treating inflammatory condition and stimulating growth or differentiation of bone marrow cells is claimed. An antibody capable of selectively binding to a modified chemokine is also claimed. A compound, ABCE-NHCH(CO-FD)(CH2)mY1(CH2)xY2(CH2)nCH(CO-FD)NH-ECBA (Y1, Y2=CH2, S; X=0.apprx.4; m, n=0.apprx.2; A.apprx.F=(modified)amino acid residue), for inducing a hematopoietic synergistic factor in mammal is also disclosed.

ΙT 162010-97-1P

> RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hematopoietic synergistic factor-inducing peptide and its synthesis)

RN162010-97-1 CAPLUS

CN L-Lysine, 4,4'-(1,3-propanediyl)bis[5-oxo-L-prolyl-L-α-glutamyl-L- $\alpha$ -aspartyl-N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:401296 CAPLUS

DOCUMENT NUMBER: 122:170194

TITLE: Blood pool imaging composition and method of its use INVENTOR(S): Bogdanov, Alexei A.; Weissleder, Ralph; Brady, Thomas

J.; Callahan, Ronald

PATENT ASSIGNEE(S): General Hospital Corp., USA

SOURCE: PCT Int. Appl., 24 pp.

. CODEN: PIXXD2

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DOCUMENT TYPE:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9428940 W: CA, JP	A1 19941222	WO 1994-US6282	19940603
RW: AT, BE, CH, US 5605672	DE, DK, ES, FR, A 19970225	GB, GR, IE, IT, LU, MC, US 1993-74319	NL, PT, SE 19930609
EP 711178 EP 711178	B1 20030521		19940603
R: AT, BE, CH, AT 240748	DE, DK, ES, FR, E 20030615	GB, GR, IE, IT, LI, NL, AT 1994-919353	PT, SE 19940603

ES 2197164 Т3 20040101 ES 1994-919353 19940603 PRIORITY APPLN. INFO.: US 1993-74319 A 19930609 WO 1994-US6282 19940603

AB The invention features a method of blood pool imaging which utilizes an imaging agent which can be easily labeled with a radioactive isotope and injected into a patient. The imaging agent is a covalent conjugate of a polymeric carrier, protective groups, and chelating groups. The imaging agent is preferably provided in the form of a blood pool imaging composition, which includes an imaging agent of the invention, a buffer and a reducing compound A radioactive isotope is added to the blood pool imaging composition

to

label the imaging agent, and the composition containing the labeled imaging agent

is injected i.v. into a patient.

14344-49-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (blood pool imaging composition)

RN

14344-49-1 CAPLUS L-Cysteine, S,S'-1,2-ethanediylbis- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1973:106131 CAPLUS 78:106131

DOCUMENT NUMBER: TITLE:

Antineoplastic action of vinyl sulfones and their

possible precursors

AUTHOR (S):

Pol'kina, R. I.; Remizov, A. L.; Petrov, A. S. N. N. Petrov Res. Inst. Oncol., Leningrad, USSR

CORPORATE SOURCE: SOURCE:

Voprosy Onkologii (1973), 19(1), 82-8

CODEN: VOONAW; ISSN: 0507-3758

Journal

DOCUMENT TYPE: LANGUAGE:

Russian.

In vitro and in vivo (rats and mice) tests on sarcomas 180, 37, and LiO-1, ascitic lymphosarcoma, solid and ascitic Ehrlich tumors, and rat ovarian ascites tumor showed that vinyl sulfones have little value as antitumor agents. Acetoxyethylsulfones and other precursors which can be readily converted into vinyl sulfones by  $\beta$ -eliminations showed cytotoxic action (similar to that of sarcolysine [531-76-0]) during direct contact with tumor cells. When administered i.p. in therapeutic doses (0.1-0.2 LD50) daily for 12 days these compds. had almost no general toxic action and no adverse effect on hemopoiesis. Bis(2-acetoxyethylsulfonyl)methane [39227-09-3] was the strongest antitumor agent of 16 vinylsulfone pecursors studied.

7426-03-1 7484-34-6 41123-71-1 IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibitors)

RN 7426-03-1 CAPLUS

Ethanol, 2,2'-[1,4-butanediylbis(sulfonyl)]bis- (9CI) (CA INDEX NAME) CN

$$_{\rm HO-\,CH_2-\,CH_2-}^{\rm O}$$
  $_{\rm H-}^{\rm O}$   $_{\rm H-}^{\rm O}$   $_{\rm H-}^{\rm O}$   $_{\rm CH_2-\,CH_2-\,OH}$   $_{\rm O}^{\rm O}$   $_{\rm O}^{\rm O}$ 

RN 7484-34-6 CAPLUS

CN Ethanol, 2,2'-[1,2-ethanediylbis(sulfonyl)]bis- (9CI) (CA INDEX NAME)

RN 41123-71-1 CAPLUS ·

CN Ethanol, 2,2'-[1,3-propanediylbis(sulfonyl)]bis- (9CI) (CA INDEX NAME)

$$^{\rm O}_{\rm HO-CH_2-CH_2-S-(CH_2)} \stackrel{\rm O}{_{\rm 3}-S-CH_2-CH_2-OH} = 0$$

=> d his

L1

(FILE 'HOME' ENTERED AT 13:17:38 ON 25 OCT 2005)

FILE 'REGISTRY' ENTERED AT 13:17:49 ON 25 OCT 2005

STRUCTURE UPLOADED

L2 24 S L1

L3 STRUCTURE UPLOADED

L4 7 S L3

L5 1302 S L3 FULL

FILE 'CAPLUS' ENTERED AT 13:33:33 ON 25 OCT 2005

L6 18 S L5/THU

=> d 13

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.